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L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:409525 CAPLUS

DOCUMENT NUMBER: 142:463709

TITLE: A preparation of spiro(azabicyclooctane-furopyridine) derivatives, useful as ligands for nicotinic acetylcholine receptors

INVENTOR(S): Phillips, Eifion

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Limited

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

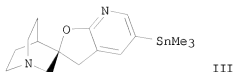
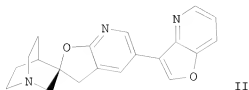
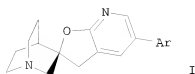
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042538	A1	20050512	WO 2004-GB4484	20041021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004285751	A1	20050512	AU 2004-285751	20041021
AU 2004285751	B2	20080724		
CA 2543436	A1	20050512	CA 2004-2543436	20041021
EP 1678183	A1	20060712	EP 2004-768999	20041021
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1871241	A	20061129	CN 2004-80031153	20041021
BR 2004015546	A	20061226	BR 2004-15546	20041021
JP 2007509121	T	20070412	JP 2006-536175	20041021
US 20070072887	A1	20070329	US 2006-575590	20060412
MX 2006004299	A	20060605	MX 2006-4299	20060418
NO 2006002307	A	20060719	NO 2006-2307	20060522
PRIORITY APPLN. INFO.:			US 2003-512893P	P 20031021
			WO 2004-GB4484	W 20041021
OTHER SOURCE(S):			CASREACT 142:463709; MARPAT 142:463709	
GI				



AB The invention relates to a preparation of spiro(azabicyclooctane-furoaryl) of formula I (Ar is a heteroaryl), useful as ligands for nicotinic acetylcholine receptors. For instance, spiro(azabicyclooctane-furopyridine) derivative II was prepared via coupling of trimethylstannylspiro(azabicyclooctane-furopyridine) derivative III with furo[3,2-b]pyridine-3-triflate. The invention compds. showed binding affinities ( $K_i$ ) of less than 1000 nM.

IT 851620-36-5P 851620-38-7P 851620-40-1P  
851620-41-2P

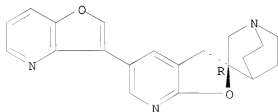
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of spiro(azabicyclooctane-furopyridine) derivs. useful as ligands for nicotinic acetylcholine receptors)

RN 851620-36-5 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'(3'H)-furo[2,3-b]pyridine],  
5'-furo[3,2-b]pyridin-3-yl-, (2'R)- (CA INDEX NAME)

Absolute stereochemistry.

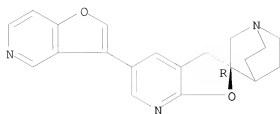


RN 851620-38-7 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'(3'H)-furo[2,3-b]pyridine],  
5'-furo[3,2-c]pyridin-3-yl-, (2'R)- (CA INDEX NAME)

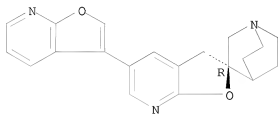
Absolute stereochemistry.

10/575,590



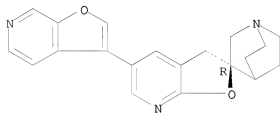
RN 851620-40-1 CAPLUS  
CN Spiro[1-azabicyclo[2.2.2]octane-3,2'(3'H)-furo[2,3-b]pyridine],  
5'-furo[2,3-b]pyridin-3-yl-, (2'R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 851620-41-2 CAPLUS  
CN Spiro[1-azabicyclo[2.2.2]octane-3,2'(3'H)-furo[2,3-b]pyridine],  
5'-furo[2,3-c]pyridin-3-yl-, (2'R)- (CA INDEX NAME)

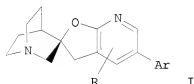
Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2003:837089 CAPLUS  
DOCUMENT NUMBER: 139:350723  
TITLE: Preparation of  
(2'R)-5'-thienylspiro[1-azabicyclo[2.2.2]octane-  
3,2'(3'H)-furo[2,3-b]pyridine] derivatives as agonists  
of  $\alpha 7$  nicotinic receptor  
Chang, Hui-Fang; Li, Yan; Phillips, Eifion  
INVENTOR(S): Astrazeneca AB, Swed.  
PATENT ASSIGNEE(S): PCT Int. Appl., 31 pp.  
SOURCE: CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087103	A1	20031023	WO 2003-SE614	20030415
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2482312	A1	20031023	CA 2003-2482312	20030415
AU 2003224545	A1	20031027	AU 2003-224545	20030415
EP 1499615	A1	20050126	EP 2003-721208	20030415
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009342	A	20050215	BR 2003-9342	20030415
CN 1659170	A	20050824	CN 2003-813782	20030415
CN 1325499	C	20070711		
JP 2005527588	T	20050915	JP 2003-584059	20030415
NZ 535978	A	20071026	NZ 2003-535978	20030415
ZA 2004008339	A	20051103	ZA 2004-8339	20041014
MX 2004010193	A	20050203	MX 2004-10193	20041015
US 20050171106	A1	20050804	US 2004-511522	20041015
US 7186836	B2	20070306		
NO 2004004997	A	20050118	NO 2004-4997	20041117
HK 1079522	A1	20080215	HK 2005-111638	20051216
US 20070142419	A1	20070621	US 2007-668099	20070129
PRIORITY APPLN. INFO.:			SE 2002-1187	A 20020418
			SE 2002-3608	A 20021204
			WO 2003-SE614	W 20030415
			US 2004-511522	A3 20041015
OTHER SOURCE(S):	MARPAT 139:350723			
GI				



AB The title compds. (I) [Ar is selected from a 2-, or 3-linked thiophene, benzo[b]thiophene or benzo[c]thiophene substituted with 0, 1, 2 or 3 substituents independently selected at each occurrence from C1-4 alkyl, C1-4 alkoxy, C1-4 halogenated alkyl, C1-4 oxygenated alkyl, C2-4 alkenyl, C2-4 alkynyl, halogen, CO2R1, COR1, cyano, NO2, (CH2)nNR1R2; n is 0, 1, or 2; R1 and R2 are independently selected at each occurrence from hydrogen or C1-4 alkyl; R is a substituent selected from hydrogen, C1-4 alkyl, C1-4 halogenated alkyl, C1-4 oxygenated alkyl, or halogen] or pharmaceutically acceptable salts thereof are prepared as agonists of  $\alpha 7$  nicotinic receptor (no data). These compds. I are useful in the treatment or prophylaxis of human diseases or conditions in which activation of  $\alpha 7$  nicotinic receptor identify beneficial, i.e. (1) psychotic

disorders or intellectual impairment disorders and (2) Alzheimer's disease, learning deficit, cognition deficit, attention deficit, memory loss, Attention Deficit Hyperactivity Disorder, anxiety, schizophrenia, or mania or manic depression Parkinson's disease, Huntington's disease, Tourette's syndrome, neurodegenerative disorders in which there is loss of cholinergic synapse, jetlag, cessation of smoking, nicotine addiction including that resulting from exposure to products containing nicotine, craving, pain, and for ulcerative colitis. They are also used in a screen for the discovery of novel medicinal compds. which bind to and modulate the activity, via agonism, partial agonism, or antagonism, of the  $\alpha 7$  nicotinic acetylcholine receptor.

IT 616875-56-0P 616875-57-1P

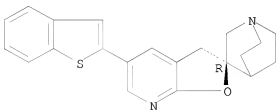
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thienylspiro[1-azabicyclo[2.2.2]octane-furo[2,3-b]pyridine] derivs. as agonists of  $\alpha 7$  nicotinic receptor for treatment or prophylaxis of psychotic disorders or intellectual impairment disorders)

RN 616875-56-0 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'(3'H)-furo[2,3-b]pyridine], 5'-benzo[b]thien-2-yl-, (2'R)- (CA INDEX NAME)

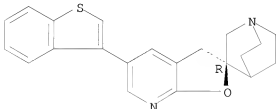
Absolute stereochemistry.



RN 616875-57-1 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'(3'H)-furo[2,3-b]pyridine], 5'-benzo[b]thien-3-yl-, (2'R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:837088 CAPLUS

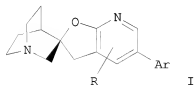
DOCUMENT NUMBER: 139:337962

TITLE: Preparation of (2'R)-5'-furylspiro[1-azabicyclo[2.2.2]octane-3,2'(3'H)-furo[2,3-b]pyridine] derivatives as agonists

INVENTOR(S): of  $\alpha 7$  nicotinic receptor  
 Chang, Hui-Fang; Li, Yan; Phillips, Eifion  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.  
 SOURCE: PCT Int. Appl., 34 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087102	A1	20031023	WO 2003-SE613	20030415
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2482311	A1	20031023	CA 2003-2482311	20030415
AU 2003225456	A1	20031027	AU 2003-225456	20030415
EP 1499618	A1	20050126	EP 2003-746523	20030415
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009343	A	20050215	BR 2003-9343	20030415
CN 1662541	A	20050831	CN 2003-813895	20030415
CN 1325500	C	20070711		
JP 2005533012	T	20051104	JP 2003-584058	20030415
NZ 561794	A	20081128	NZ 2003-561794	20030415
ZA 2004008333	A	20060329	ZA 2004-8333	20041014
MX 2004010191	A	20050203	MX 2004-10191	20041015
US 20050176745	A1	20050811	US 2004-511535	20041015
US 7417049	B2	20080826		
NO 2004004996	A	20050118	NO 2004-4996	20041117
HK 1079519	A1	20080215	HK 2005-111483	20051214
PRIORITY APPLN. INFO.:			SE 2002-1186	A 20020418
			SE 2002-3607	A 20021204
			NZ 2003-535977	A3 20030415
			WO 2003-SE613	W 20030415

OTHER SOURCE(S): MARPAT 139:337962  
 GI



AB The title compds. (I) [Ar is selected from a 2-, or 3-linked furyl, benzofuryl or isobenzofuryl; substituted with 1, 2 or 3 substituents, or, when a benzofuryl or isobenzofuryl with 0, 1, 2, or 3 substituents, independently selected at each occurrence from C1-4 alkyl, C1-4 alkoxy,

C1-4 halogenated alkyl, C1-4 oxygenated alkyl, C2-4 alkenyl, C2-4 alkynyl, halogen, CO<sub>2</sub>R<sub>1</sub>, COR<sub>1</sub>, cyano, NO<sub>2</sub>, (CH<sub>2</sub>)<sub>n</sub>NR<sub>1</sub>R<sub>2</sub>; n = 0-2; R<sub>1</sub> and R<sub>2</sub> are independently selected at each occurrence from hydrogen or C1-4 alkyl; R is a substituent selected from hydrogen, C1-4 alkyl, C1-4 halogenated alkyl, C1-4 oxygenated alkyl, or halogen] or pharmaceutically acceptable salts thereof are prepared as agonists of  $\alpha$ 7 nicotinic receptor (no data). These compds. 1 are useful in the treatment or prophylaxis of human diseases or conditions in which activation of  $\alpha$ 7 nicotinic receptor identify beneficial, i.e. (1) psychotic disorders or intellectual impairment disorders and (2) Alzheimer's disease, learning deficit, cognition deficit, attention deficit, memory loss, Attention Deficit Hyperactivity Disorder, anxiety, schizophrenia, or mania or manic depression Parkinson's disease, Huntington's disease, Tourette's syndrome, neurodegenerative disorders in which there is loss of cholinergic synapse, jetlag, cessation of smoking, nicotine addiction including that resulting from exposure to products containing nicotine, craving, pain, and for ulcerative colitis. They are also used in a screen for the discovery of novel medicinal compds. which bind to and modulate the activity, via agonism, partial agonism, or antagonism, of the  $\alpha$ 7 nicotinic acetylcholine receptor.

IT 616874-03-4P 616874-16-9P 616874-18-1P

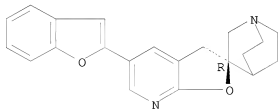
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of furylspiro[1-azabicyclo[2.2.2]octane-furo[2,3-b]pyridine] derivs. as agonists of  $\alpha$ 7 nicotinic receptor for treatment or prophylaxis of psychotic disorders or intellectual impairment disorders)

RN 616874-03-4 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'(3'H)-furo[2,3-b]pyridine], 5'-(2-benzofuranyl)-, (2'R)- (CA INDEX NAME)

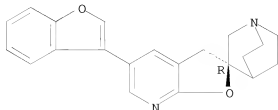
Absolute stereochemistry.



RN 616874-16-9 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,2'(3'H)-furo[2,3-b]pyridine], 5'-(3-benzofuranyl)-, (2'R)- (CA INDEX NAME)

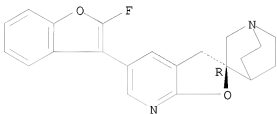
Absolute stereochemistry.



10/575,590

RN 616874-18-1 CAPLUS  
CN Spiro[1-azabicyclo[2.2.2]octane-3,2'-(3'H)-furo[2,3-b]pyridine],  
5'-(2-fluoro-3-benzofuranyl)-, (2'R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L1 STRUCTURE UPLOADED

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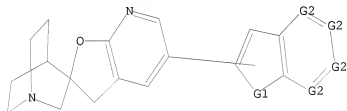
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L4 3 S L3

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 O,S

G2 C,N

Structure attributes must be viewed using STN Express query preparation.

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